(19) World Intellectual Property Organization International Bureau





(43) International Publication Date 1 September 2005 (01.09.2005)

(10) International Publication Number WO 2005/080345 A2

(51) International Patent Classification7:

C07D 233/00

(21) International Application Number:

PCT/EP2005/050680

(22) International Filing Date: 16 February 2005 (16.02.2005)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

04100656.0 60/545,484

19 February 2004 (19.02.2004)

19 February 2004 (19.02.2004)

(71) Applicant (for all designated States except US): SOLVAY PHARMACEUTICALS B.V. [NL/NL]; C.J. van Houtenlaan 36, NL-1381 CP Weesp (NL).

(72) Inventors; and

(75) Inventors/Applicants (for US only): LANGE, Josephus, H., M. [NL/NL]; p/o IPSI DEPARTMENT, C.J. van Houtenlaan 36, NL-1381 CP Weesp (NL). KRUSE, Cornelis, G. [NL/NL]; p/o IPSI DEPARTMENT, C.J. van Houtenlaan 36, NL-1381 CP Weesp (NL). VAN STUIVENBERG, Herman, H. [NL/NL]; p/o IPSI DE-PARTMENT, C.J. van Houtenlaan 36, NL-1381 CP Weesp (NL).

(74) Agent: VERHAGE, Marinus; C.J. van Houtenlaan 36, NL-1381 CP Weesp (NL).

(81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

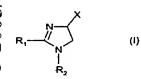
(84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

without international search report and to be republished upon receipt of that report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: IMIDAZOLINE DERIVATIVES HAVING CB1-ANTAGONISTIC ACTIVITY



given in the specification.

(57) Abstract: The present invention relates to 1,2,4-tri-substituted imidazoline derivatives, to methods for the preparation of these compounds, to novel intermediates useful for the synthesis of said imidazoline derivatives, to methods for the preparation of these intermediates, to pharmaceutical compositions containing one or more of these imidazoline derivatives as active ingredient, as well as to the use of these pharmaceutical compositions for the treatment of psychiatric and neurological disorders. The compounds have the general formula (I) wherein the symbols have the meanings